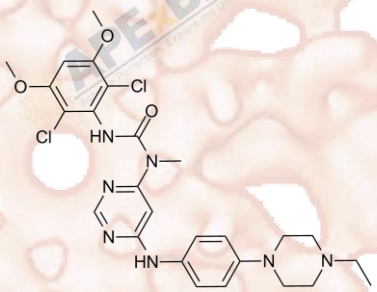
Product Data Sheet

BGJ398



Cat. No.:	A3014
CAS No.:	872511-34-7
Formula:	C26H31Cl2N7O3
M.Wt:	560.48
Synonyms:	BGJ398,BGJ-398
Target:	Tyrosine Kinase
Pathway:	FGFR
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

insoluble in H2O; insoluble in EtOH; ⩾7 mg/mL in DMSO with gentle warming

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	1.7842 mL	8.9209 mL	17.8418 mL
5 mM	0.3568 mL	1.7842 mL	3.5684 mL
10 mM	0.1784 mL	0.8921 mL	1.7842 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	FGFR inhibitor ,potent and selective
IC_{50} & Target	0.9 nM (FGFR1), 1.4 nM (FGFR2), 1 nM (FGFR3), 60 nM (FGFR4)

In Vitro

Cell Viability Assay

Cell Line:	AN3CA, MFE296, MFE280, SNGM and HEC1A cells
Preparation method:	The solubility of this compound in DMSO is <10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.
Reacting conditions:	0.5 μM, 72 hours

	Applications:	Exposure of AN3CA, MFE296, and MFE280 cells to the inhibitor led to a significant increase in the fraction of cells in G0–G1 arrest and to a significant increase in the fraction of cells undergoing apoptosis, when compared with untreated controls. In contrast, NVP-BGJ398 treatment did not alter the fractions of cells in G0–G1 arrest in the FGFR2 wild-type endometrial cancer cell lines SNGM or HEC1A in vitro. Moreover, NVP-BGJ398 treatment had no effect on apoptosis in the FGFR2 wild-type endometrial cancer cell line HEC1A.
In Vivo	**Animal experiment**	
	Animal models:	Nude mice bearing AN3CA, MFE296, SNGM or HEC1A xenografts
	Dosage form:	Oral administration, 30 or 50 mg/kg, daily
	Applications:	NVP-BGJ398 significantly delayed the growth of FGFR2-mutated endometrial cancer xenograft tumors. In contrast, NVP-BGJ398 had no in vivo inhibitory effects in the long-term study using the FGFR2 wild-type endometrial cancer cell line SNGM, but surprisingly did show in vivo activity in HEC1A cells by delaying tumor growth in these cells.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Serra M, Alysandratos KD, et al."Pluripotent stem cell differentiation reveals distinct developmental pathways regulating lung-versus thyroid-lineage specification." Development. 2017 Nov 1;144(21):3879-3893.PMID:28947536

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References

[1] Konecny G E, Kolarova T, O'Brien N A, et al. Activity of the fibroblast growth factor receptor inhibitors dovitinib (TKI258) and NVP-BGJ398 in human endometrial cancer cells. Molecular cancer therapeutics, 2013, 12(5): 632-642.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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